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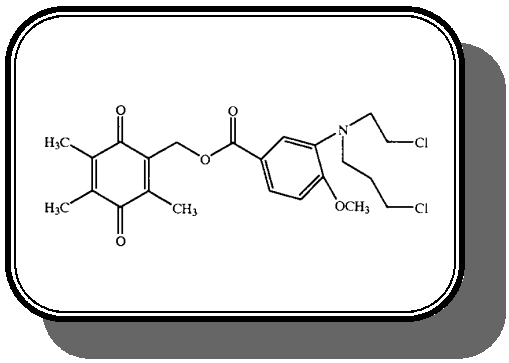
DRUG PATENTING IN CONTEXT

Current Patents *Gazette* is the most rapid competitive intelligence service covering innovation in the pharmaceutical industry. Patent applications published during the past week have been classified and analysed, in order to place the inventions in context. For the most crucial innovations, those involving new chemical compounds, additional information is given in the form of front page images. These can be enlarged to show details of chemical structures and inventor teams, for example. Applications filed jointly, representing collaborative research, are highlighted, as are sequences of inter-related documents.

US Based Shionogi BioResearch

has its first granted patent published this week, with a US case disclosing bioreductive cytotoxic agents. This patent may relate to lead compound SBR-170, currently in preclinical studies for the treatment of breast cancer.



CONTENTS

HIGHLIGHTS THIS WEEK

This week sees the publication of the first granted US patent of Shionogi BioResearch, covering **bioreductive cytotoxic agents** which may relate to **SBR-170**, the bioreductive alkylating agent the company is investigating for the treatment of breast cancer. Based in the US, Shionogi BioResearch was established in July 1997 as a 51% owned subsidiary of the Japanese Shionogi & Co, with co-founders including a Professor of Biology from MIT; a Professor of Pathology at the Dana-Farber Cancer Institute and an ex-Director of Hoffman La Roche's Central Research Unit. Specializing in the areas of cancer, dementia and immunological diseases, the company was Shionogi's first overseas R&D subsidiary. Other new applicants include: **GSTX (IL)** claiming fatty acid derivatives of bile acids in treatment and prevention of cholesterol gallstones and arteriosclerosis, **508037 (NB) Inc (US)** with high yield purification method for taxanes and **Adamed** from Poland, whose application claiming the preparation of the **benzenesulfonate salt** of the **antihypertensive calcium channel blocker amlodipine** appears only to be their second PCT application.

Merck & Co and **Banyu** are co-developing the **endothelin receptor antagonist L-753037** for the potential treatment of **hypertension** and **heart failure**. Despite being only in phase I trials, this product has been the focus of extensive research and patenting activity. Originally disclosed in WO9505374 for the treatment of hypertension, Raynaud's disease and other cardiovascular disorders, subsequent applications have described the use of the compound for heart failure (WO9737665), and more recently its in a composition for the treatment of **benign prostatic hyperplasia** (WO9948530). Chemical processes useful for its preparation were described in WO9806698, WO9806700 and WO9907367. This week sees the addition of five more process related patent applications to this sequence, disclosing various catalytic oxidation and cyclization processes which can be utilized at various stages in the synthesis of this drug.

A number of specialist companies feature in this week's Biotechnology section. **Iconix Pharmaceuticals** claims methods for identifying genetic determinants associated with modulation of test compound activity. This young company, one third of which is owned by **Microcide Pharmaceuticals**, is developing a high-throughput screening system known as **Surrogate Genetics technology**. An application from Stockholm-based **Genotox Testing & Consulting** claims a DNA sequence in a Sp5 mutant. This appears to be the first international application from the company. US-based **ProdiGene**, a company specializing in the production of recombinant proteins from transgenic plants, this week claims an optimized nucleotide sequence encoding organophosphorous hydrolase. This company is known to be investigating the preparation of **edible vaccines**.

Finally, the US DHHS claims to have developed a method of determining a person's susceptibility to alcoholism or suicidal behavior by testing their saliva. In a US granted patent published this week, it discloses methods for measuring the concentration of **salivary prolactin** in a patient by immunoassay, useful as a test for **serotonergic activity**. This is thought to be indicative of a potential susceptibility to a range of psychiatric disorders, such as impaired impulse control, obsessive-compulsive disorder and violent behavior, as well as Type II alcoholism and suicidal behavior.